

Patent Claims

1. Aqueous pharmaceutical preparation of oligopeptides, comprising an oligopeptide of the formula I

cyclo-(n-Arg-nGly-nAsp-nD-nE) (I)

in which

D and E each, independently of one another, denote Gly, Ala, β -Ala, Asn, Asp, Asp(OR), Arg, Cha, Cys, Gln, Glu, His, Ile, Leu, Lys, Lys(Ac), Lys(AcNH₂), Lys(AcSH), Met, Nal, Nle, Orn, Phe, 4-Hal-Phe, homoPhe, Phg, Pro, Pya, Ser, Thr, Tia, Tic, Trp, Tyr or Val, where the said amino acid radicals may also be derivatised,

R denotes alkyl having 1-18 C atoms,

Hal denotes F, Cl, Br, I,

Ac denotes alkanoyl having 1-10 C atoms, aroyl having 7-11 carbon atoms or aralkanoyl having 8-12 C atoms,

n denotes a hydrogen atom or an alkyl radical R, benzyl or an aralkyl radical having 7-18 C atoms on the alpha-amino function. of the corresponding amino acid radical,

with the proviso that at least one amino acid radical has a substituent n ,
where n denotes R,

and where, if they are radicals of optically active amino acids and amino acid derivatives, both the D and L forms are included, and physiologically acceptable salts thereof,

and an etherified β -cyclodextrin having a water solubility of greater than 1.8 mg/ml of water

2. Aqueous pharmaceutical preparation according to Claim 1, characterised in that the etherified β -cyclodextrin present is partially etherified β -cyclodextrin
3. Aqueous pharmaceutical preparation according to Claim 1 or 2, characterised in that the ether substituents in the etherified β -cyclodextrin are hydroxyethyl and/or hydroxypropyl groups
4. Aqueous pharmaceutical preparation according to one or more of Claims 1 to 3, characterised in that the etherified β -cyclodextrin has a molar degree of substitution of between 0.2 and 10
5. Aqueous pharmaceutical preparation according to Claim 4, characterised in that the partially etherified β -cyclodextrin has a molar degree of substitution of between 0.2 and 2, based on the ether substituents
6. Aqueous pharmaceutical preparation according to Claim 4, characterised in that the partially etherified β -cyclodextrin has a molar degree of substitution of between 0.5 and 0.8, based on the ether substituents
7. Aqueous pharmaceutical preparation according to one or more of Claims 1 to 6, characterised in that the oligopeptide is cilengitide
8. Aqueous pharmaceutical preparation according to one or more of Claims 1 to 7, characterised in that an isotonicity agent is furthermore present in an amount necessary for establishing isotonicity
9. Aqueous pharmaceutical preparation according to one or more of Claims 1 to 8, characterised in that it has a pH of from 5 to 8, preferably a pH of from 5.6 to 7.4.

10. Aqueous pharmaceutical preparation according to Claim 9, characterised in that it has a pH of from 6 to 7.2
- 5 11. Aqueous pharmaceutical preparation according to one or more of Claims 1 to 10, characterised in that it comprises from 20 to 120 mg/ml of cilengitide and from 15 to 25% by weight of hydroxypropyl- β -cyclodextrin having a molar degree of substitution of from 0.5 to 0.8
- 10 12. Aqueous pharmaceutical preparation according to Claim 11, characterised in that it comprises about 80 mg/ml of cilengitide and about 20% by weight of hydroxypropyl- β -cyclodextrin having a molar degree of substitution of about 0.58-0.73
- 15 13. Process for the preparation of an aqueous pharmaceutical preparation according to one or more of Claims 1 to 12, characterised in that firstly the β -cyclodextrin ether is dissolved in water, and the active ingredient and any further adjuvants are subsequently added